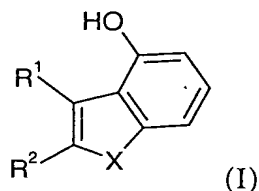


## CLAIMS

1. A process for preparing a compound of the formula:



wherein

✓ [ R<sup>1</sup> and R<sup>2</sup> are independently selected from the group consisting of hydrogen and lower-alkyl; or ]

R<sup>1</sup> and R<sup>2</sup> together with the ring carbon atoms to which they are attached form [a monovalent carbocyclic or] a monovalent carbocyclic aromatic ring or a monovalent carbocyclic or monovalent carbocyclic aromatic ring substituted by halogen, lower-alkyl or lower-alkoxy;

✓ X is [O, S or] N-Z;

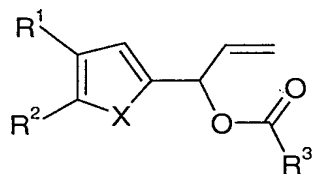
Z is an amino protecting group [selected from the group consisting of] SO<sub>2</sub>R<sup>a</sup> [NMe<sub>2</sub>, CO<sub>2</sub>R<sup>b</sup> and CON(R<sup>c</sup>)<sub>2</sub>];

R<sup>a</sup> is [lower-alkyl or] aryl; [and ]

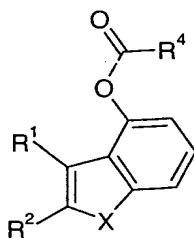
[ R<sup>b</sup> and R<sup>c</sup> are lower-alkyl; ]

which comprises:

(a) cyclocarbonylating a compound of formula:



wherein R<sup>3</sup> is lower-alkyl, aryl or aralkyl, and R<sup>1</sup>, R<sup>2</sup> and X are as defined above; to form a compound of formula:



wherein R<sup>4</sup> is lower-alkyl or aryl and R<sup>1</sup>, R<sup>2</sup> and X are as defined above; and

(b) saponifying the compound of formula (III) to produce the compound of formula (I).

2. The process according to claim 1, wherein X is N-Z.

3. The process according to claim 1, wherein Z is  $\text{SO}_2\text{R}^a$  and  $\text{R}^a$  is phenyl.

4. The process according to claim 1, wherein  $\text{R}^1$  and  $\text{R}^2$  together with the ring carbon atoms to which they are attached form a phenyl ring.

5. The process according to claim 1, wherein  $\text{R}^3$  is methyl or phenyl.

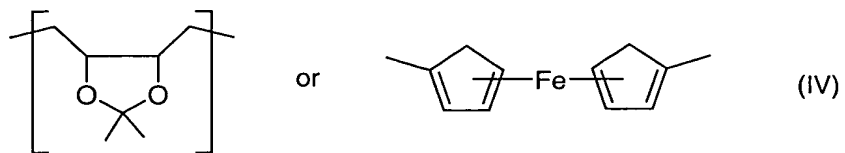
6. The process according to claim 1, wherein the cyclocarbonylating is carried out in the presence of a base, an anhydride, and a catalyst comprising a transition metal compound and a ligand.

7. The process according to claim 6, wherein the transition metal compound is a palladium salt.

8. The process according to claim 7, wherein the transition metal compound is selected from the group consisting of  $\text{Pd}(\text{OAc})_2$ ,  $\text{Pd}_2\text{dba}_3$ ,  $\text{PdCl}_2$ ,  $\text{Pd}_2\text{Cl}_2(\pi\text{-allyl})_2$ ,  $\text{PdCl}_2(\text{NCMe})_2$ ,  $[\text{Pd}(\text{NCMe})_4](\text{BF}_4)_2$  or  $\text{Pd/C}$ .

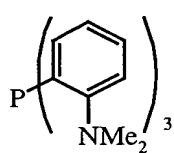
9. The process according to claim 8, wherein the transition metal compound is  $\text{Pd}(\text{OAc})_2$ .

10. The process according to claim 6, wherein the ligand is  $\text{P}(\text{R}^5)(\text{R}^6)(\text{R}^7)$  or  $(\text{R}^5)(\text{R}^6)\text{P}(\text{Y})\text{-P}(\text{R}^5)(\text{R}^6)$  wherein  $\text{R}^5$ ,  $\text{R}^6$  and  $\text{R}^7$  each independently are  $\text{C}_{1-8}$ -alkyl, cyclohexyl, benzyl, naphthyl, 2- or 3-pyrrolyl, 2- or 3-furyl, 2- or 3-thiophenyl, 2- or 3- or 4-pyridyl, phenyl or phenyl which is substituted by  $\text{C}_{1-4}$ -alkyl,  $\text{C}_{1-4}$ -alkoxy, halogen, trifluoromethyl, lower alkyldenedioxy or phenyl and Y is binaphthyl, 6,6'-dimethyl- or 6,6'-dimethoxybiphenyl-2,2'-diyl, or one of the groups  $-(\text{CH}_2)_n-$ ,  $-\text{CH}_2\text{CH}_2\text{-P}(\text{C}_6\text{H}_5)\text{-CH}_2\text{CH}_2-$ ,

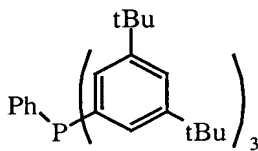


and n is a number of 1 – 8.

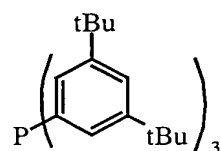
11. The process according to claim 10, wherein the ligand is selected from the group consisting of triphenylphosphine, and



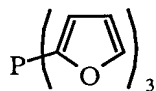
P(o-DMA-Ph)<sub>3</sub>



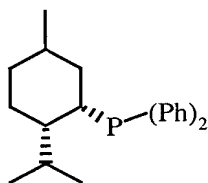
PPh(3,5-tBu-Ph)<sub>2</sub>



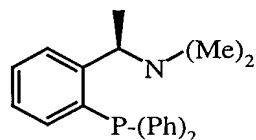
P(3,5-tBu-Ph)<sub>3</sub>



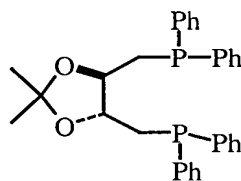
P(2-Furyl)<sub>3</sub>



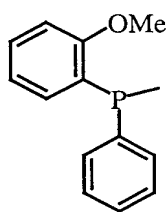
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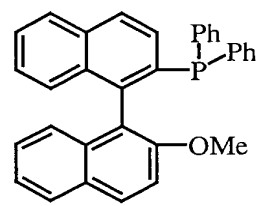
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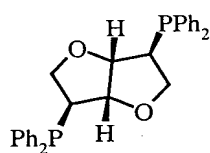
DIOP



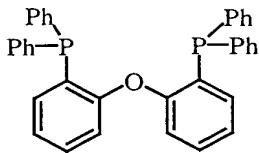
PAMP



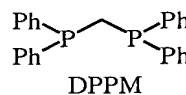
MOP



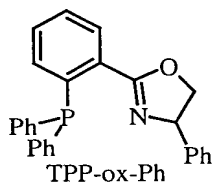
(S,S)-DDPPI



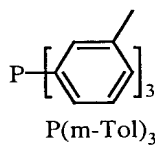
DPEphos



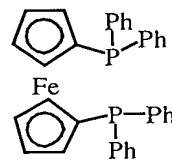
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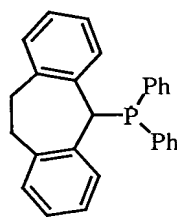
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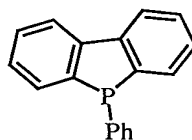
P(m-Tol)<sub>3</sub>



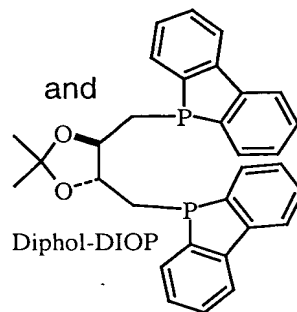
DPPF



TROPP-Ph

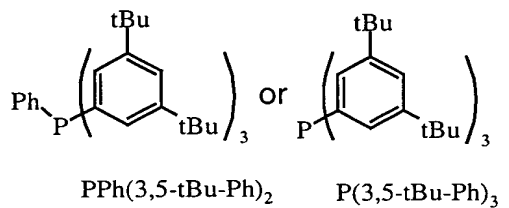


PPh(Diphol)

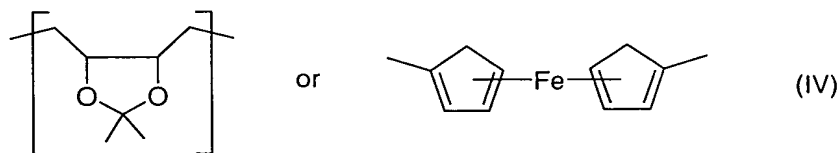


Diphol-DIOP

12. The process according to claim 11, wherein the ligand is triphenylphosphine,



13. The process according to claim 8, wherein the ligand is  $\text{P(R}^5\text{)(R}^6\text{)(R}^7\text{)}$  or  $\text{(R}^5\text{)(R}^6\text{)P-}$   $\text{(Y)-P(R}^5\text{)(R}^6\text{)}$  wherein  $\text{R}^5$ ,  $\text{R}^6$  and  $\text{R}^7$  each independently are  $\text{C}_{1-8}$ -alkyl, cyclohexyl, benzyl, naphthyl, 2- or 3-pyrrolyl, 2- or 3-furyl, 2- or 3-thiophenyl, 2- or 3- or 4-pyridyl, phenyl or phenyl which is substituted by  $\text{C}_{1-4}$ -alkyl,  $\text{C}_{1-4}$ -alkoxy, halogen, trifluoromethyl, lower alkyldenedioxy or phenyl and Y is binaphthyl, 6,6'-dimethyl- or 6,6'-dimethoxybiphenyl-2,2'-diyl, or one of the groups  $\text{-(CH}_2\text{)}_n\text{-}$ ,  $\text{-CH}_2\text{CH}_2\text{-P(C}_6\text{H}_5\text{)-CH}_2\text{CH}_2\text{-}$ ,

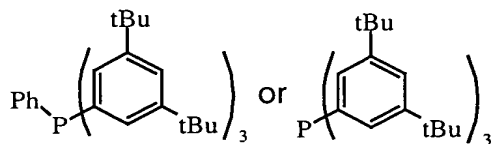


and n is a number of 1 – 8.

14. The process according to claim 13, wherein the ligand is selected from the group consisting of triphenylphosphine, and

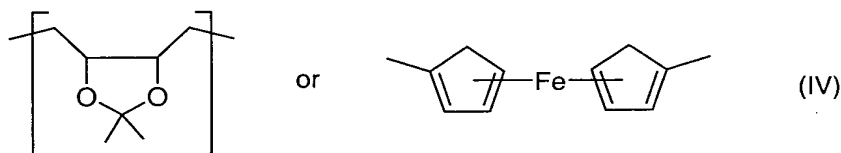


15. The process according to claim 14, wherein the ligand is triphenylphosphine,



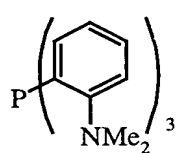
13.  $\text{PPh(3,5-tBu-Ph)}_2$        $\text{P(3,5-tBu-Ph)}_3$

16. The process according to claim 9, wherein the ligand is  $\text{P(R}^5\text{)(R}^6\text{)(R}^7\text{)}$  or  $\text{(R}^5\text{)(R}^6\text{)P-(Y)-P(R}^5\text{)(R}^6\text{)}$  wherein  $\text{R}^5$ ,  $\text{R}^6$  and  $\text{R}^7$  each independently are  $\text{C}_{1-8}$ -alkyl, cyclohexyl, benzyl, naphthyl, 2- or 3-pyrrolyl, 2- or 3-furyl, 2- or 3-thiophenyl, 2- or 3- or 4-pyridyl, phenyl or phenyl which is substituted by  $\text{C}_{1-4}$ -alkyl,  $\text{C}_{1-4}$ -alkoxy, halogen, trifluoromethyl, lower alkyldenedioxy or phenyl and Y is binaphthyl, 6,6'-dimethyl- or 6,6'-dimethoxybiphenyl-2,2'-diyl, or one of the groups  $-(\text{CH}_2)_n-$ ,  $-\text{CH}_2\text{CH}_2-\text{P}(\text{C}_6\text{H}_5)-\text{CH}_2\text{CH}_2-$ ,

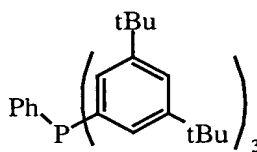


and n is a number of 1 – 8.

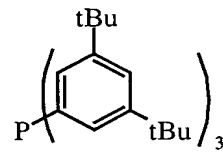
17. The process according to claim 16, wherein the ligand is selected from the group consisting of triphenylphosphine, and



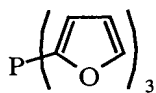
P(o-DMA-Ph)<sub>3</sub>



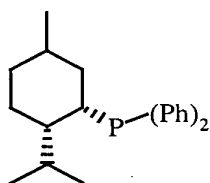
PPh(3,5-tBu-Ph)<sub>2</sub>



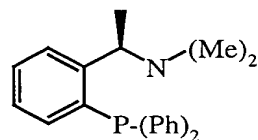
P(3,5-tBu-Ph)<sub>3</sub>



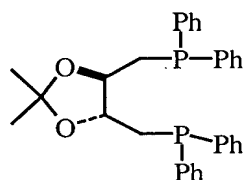
P(2-Furyl)<sub>3</sub>



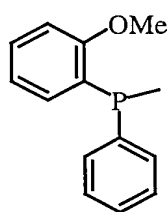
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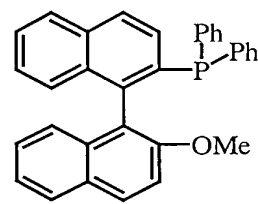
AMPHOS



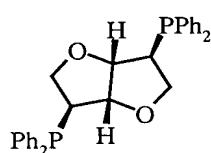
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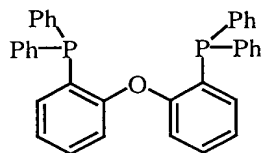
PAMP



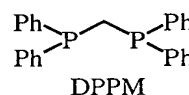
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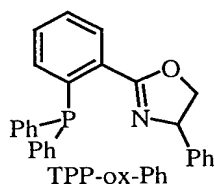
(S,S)-DDPPI



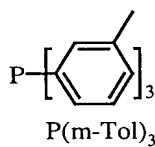
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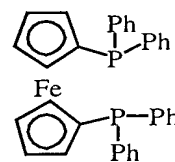
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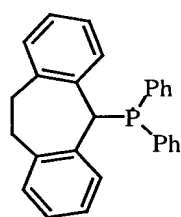
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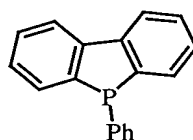
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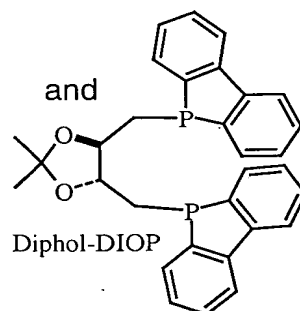
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TROPP-Ph



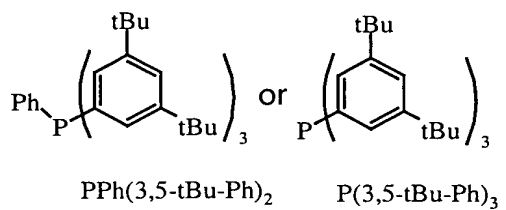
PPh(Diphol)



Diphol-DIOP

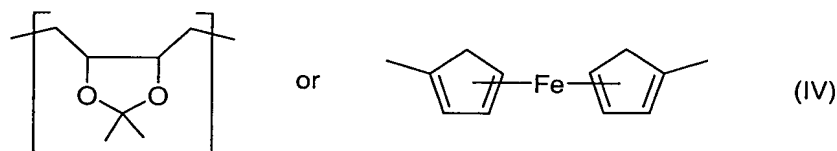


18. The process according to claim 17, wherein the ligand is triphenylphosphine,



19. The process according to claim 6, wherein the cyclocarbonylating is carried out in the presence of a base selected from the group consisting of tri-alkyl-amines, di-alkyl-aryl-amines, pyridines, alkyl-N-piperidines, sodium hydroxide, potassium hydroxide or salts of carbonic acids.
20. The process according to claim 19, wherein the cyclocarbonylating is carried out in the presence of triethylamine.
21. The process according to claim 10, wherein the cyclocarbonylating is carried out in the presence of a base selected from the group consisting of tri-alkyl-amines, di-alkyl-aryl-amines, pyridines, alkyl-N-piperidines, sodium hydroxide, potassium hydroxide or salts of carbonic acids.
22. The process according to claim 21, wherein the cyclocarbonylating is carried out in the presence of triethylamine.
23. The process according to claim 11, wherein the cyclocarbonylating is carried out in the presence of a base selected from the group consisting of tri-alkyl-amines, di-alkyl-aryl-amines, pyridines, alkyl-N-piperidines, sodium hydroxide, potassium hydroxide or salts of carbonic acids.
24. The process according to claim 23, wherein the cyclocarbonylating is carried out in the presence of triethylamine.

25. The process according to claim 12, wherein the cyclocarbonylating is carried out in the presence of a base selected from the group consisting of tri-alkyl-amines, di-alkyl-aryl-amines, pyridines, alkyl-N-piperidines, sodium hydroxide, potassium hydroxide or salts of carbonic acids.
26. The process according to claim 25, wherein the cyclocarbonylating is carried out in the presence of triethylamine.
27. The process according to claim 6, wherein the cyclocarbonylating is carried out in the presence of an anhydride of the formula  $(R^4(C=O))_2O$ , wherein  $R^4$  is as defined in claim 1.
28. The process according to claim 27, wherein the cyclocarbonylating is carried out in the presence of an anhydride selected from acetic anhydride, propionic anhydride, butyric anhydride, isobutyric anhydride, pivalic anhydride and benzoic anhydride.
29. The process according to claim 1, wherein the saponifying is carried out in a biphasic mixture of sodium hydroxide in toluene or in a homogeneous mixture of sodium methyle in methanol.
30. The process according to claim 6, wherein the cyclocarbonylating is carried out in the presence of a base selected from the group consisting of tri-alkyl-amines, di-alkyl-aryl-amines, pyridines, alkyl-N-piperidines, sodium hydroxide, potassium hydroxide and salts of carbonic acids; an anhydride of the formula  $(R^4(C=O))_2O$ , wherein  $R^4$  is as defined in claim 1; and a catalyst comprising a transition metal compound selected from the group consisting of  $Pd(OAc)_2$ ,  $Pd_2dba_3$ ,  $PdCl_2$ ,  $Pd_2Cl_2(\pi\text{-allyl})_2$ ,  $PdCl_2(NCMe)_2$ ,  $[Pd(NCMe)_4](BF_4)_2$ , and  $Pd/C$ , and a ligand selected from the group consisting of  $P(R^5)(R^6)(R^7)$  and  $(R^5)(R^6)P-(Y)-P(R^5)(R^6)$  wherein  $R^5$ ,  $R^6$  and  $R^7$  each independently are  $C_{1-8}$ -alkyl, cyclohexyl, benzyl, naphthyl, 2- or 3-pyrrolyl, 2- or 3-furyl, 2- or 3-thiophenyl, 2- or 3- or 4-pyridyl, phenyl or phenyl which is substituted by  $C_{1-4}$ -alkyl,  $C_{1-4}$ -alkoxy, halogen, trifluoromethyl, lower alkylidenedioxy or phenyl and Y is binaphthyl, 6,6'-dimethyl- or 6,6'-dimethoxybiphenyl-2,2'-diyl, or one of the groups  $-(CH_2)_n-$ ,  $-CH_2CH_2-P(C_6H_5)-CH_2CH_2-$ ,



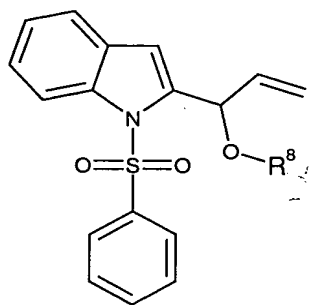
and n is a number of 1 – 8.

31. The process according to claim 30, wherein the saponifying is carried out in a biphasic mixture of sodium hydroxide in toluene or in a homogeneous mixture of sodium methylate in methanol.

32. A process for preparing 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-2-propanol, which comprises:

- a) cyclocarbonylating acetic acid 1-(1-benzenesulfonyl-1H-indol-2-yl)-allyl ester or benzoic acid 1-(1-benzenesulfonyl-1H-indol-2-yl)-allyl ester to give acetic acid 9-benzenesulfonyl-9H-carbazol-4-yl ester;
- b) saponifying the acetic acid 9-benzenesulfonyl-9H-carbazol-4-yl ester to give 9-benzenesulfonyl-9H-carbazol-4-ol;
- c) reacting the 9-benzenesulfonyl-9H-carbazol-4-ol with epichlorohydrin under basic conditions to give 9-benzenesulfonyl-4-oxiranylmethoxy-9H-carbazole;
- d) reacting the 9-benzenesulfonyl-4-oxiranylmethoxy-9H-carbazole with benzyl-[2-(2-methoxy-phenoxy)-ethyl-amine to give a 1-(9-benzenesulfonyl-9H-carbazol-4-yloxy)-3-{benzyl-[2-(2-methoxy-phenoxy)ethyl]-amino}-propan-2-ol;
- e) deprotecting the 1-(9-benzenesulfonyl-9H-carbazol-4-yloxy)-3-{benzyl-[2-(2-methoxy-phenoxy)ethyl]-amino}-propan-2-ol under basic conditions to give 1-{benzyl-[2-(2-methoxy-phenoxy)-ethyl]-amino}-3-(9H-carbazol-4-yloxy)-propan-2-ol; and
- f) hydrogenating the 1-{benzyl-[2-(2-methoxy-phenoxy)-ethyl]-amino}-3-(9H-carbazol-4-yloxy)-propan-2-ol in an organic solvent to give 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-2-propanol.

33 A compound of formula:



(IIa)

wherein R<sup>8</sup> is hydrogen, acetyl or benzoyl.

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## APPL PARTS

IMIS
Internal Misc. Paper
LET.
Misc. Incoming Letter

371P  
PCT Papers in a 371 Application

A...  
Amendment Including Elections

22/01/02 ABST  
Abstract

ADS  
Application Data Sheet

AF/D  
Affidavit or Exhibit Received

APPENDIX  
Appendix

ARTIFACT  
Artifact

BIB  
Bib Data Sheet

CLM  
Claim

COMPUTER  
Computer Program Listing

CRFL  
All CRF Papers for Backfile

DIST  
Terminal Disclaimer Filed

DRW  
Drawings

FOR  
Foreign Reference

FRPR  
Foreign Priority Papers

IDS  
IDS Including 1449

NPL  
Non-Patent Literature

OATH  
Oath or Declaration

PET.  
Petition

RETMAIL  
Mail Returned by USPS

SEQLIST  
Sequence Listing

SPEC  
Specification

SPEC NO  
Specification Not in English

TRNA  
Transmittal New Application

CTNF  
Count Non-Final

CTRS  
Count Restriction

EXIN  
Examiner Interview

M903  
DO/EO Acceptance

M905  
DO/EO Missing Requirement

NFDR  
Formal Drawing Required

NOA  
Notice of Allowance

PETDEC  
Petition Decision

## OUTGOING

CTMS
Misc. Office Action

1449  
Signed 1449

892  
892

ABN  
Abandonment

APDEC  
Board of Appeals Decision

APEA  
Examiner Answer

CTAV  
Count Advisory Action

CTEQ  
Count Ex parte Quayle

CTFR  
Count Final Rejection

## INCOMING

AP.B  
Appeal Brief

C.AD  
Change of Address

N/AP  
Notice of Appeal

PA..  
Change in Power of Attorney

REM  
Applicant Remarks in Amendment

XT/  
Extension of Time filed separate

BACKFILE DOCUMENT INDEX SHEET

### Internal

SRNT  
Examiner Search Notes

CLMPTO  
PTO Prepared Complete Claim Set

ECBOX  
Evidence Copy Box Identification

WCLM  
Claim Worksheet

WFEE  
Fee Worksheet

### File Wrapper

FWCLM  
File Wrapper Claim

IIFW  
File Wrapper Issue Information

SRFW  
File Wrapper Search Info

6/26/03